

L Number	Hits	Search Text	DB	Time stamp
1	1620	544/262, 514/258	USPAT	2003/05/28 11:56
2	330	pyrazolopyrimidine\$	USPAT	2003/05/28 11:57
3	52	(544/262, 514/258) and pyrazolopyrimidine\$	USPAT	2003/05/28 11:57

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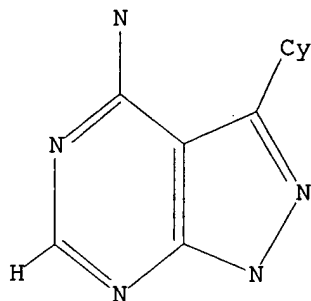
Uploading 09815310.str

L1 STRUCTURE UPLOADED

=&gt; d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=&gt; s l1

SAMPLE SEARCH INITIATED 09:47:51 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 481 TO ITERATE

100.0% PROCESSED 481 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8305 TO 10935

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=&gt; s l1 sss full

FULL SEARCH INITIATED 09:47:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9911 TO ITERATE

100.0% PROCESSED 9911 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

L3 16 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
148.55	148.76

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:48:05 ON 28 MAY 2003

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SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms

HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)  
containing hit terms

HITRN ----- HIT RN and its text modification

HITSTR ----- HIT RN, its text modification, its CA index name, and  
its structure diagram

HITSEQ ----- HIT RN, its text modification, its CA index name, its  
structure diagram, plus NTE and SEQ fields

FHITSTR ----- First HIT RN, its text modification, its CA index name, and  
its structure diagram

FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
structure diagram, plus NTE and SEQ fields

KWIC ----- Hit term plus 20 words on either side

OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):end

=> d hist

(FILE 'HOME' ENTERED AT 09:46:52 ON 28 MAY 2003)

FILE 'REGISTRY' ENTERED AT 09:47:00 ON 28 MAY 2003

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 16 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:48:05 ON 28 MAY 2003

L4 3 S L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

FULL ESTIMATED COST

0.83 149.59

FILE 'CAPLUS' ENTERED AT 09:49:20 ON 28 MAY 2003

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FILE COVERS 1907 - 28 May 2003 VOL 138 ISS 22  
FILE LAST UPDATED: 27 May 2003 (20030527/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L5 3 L3

=> d ibib abs hitstr tot

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:132148 CAPLUS

DOCUMENT NUMBER: 136:318825

TITLE: Pyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine derivatives as selective inhibitors of the EGF receptor tyrosine kinase

AUTHOR(S): Caravatti, G.; Bruggen, J.; Buchdunger, E.; Cozens, R.; Furet, P.; Lydon, N.; O'Reilly, T.; Traxler, P.

CORPORATE SOURCE: TA Oncology, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: ACS Symposium Series (2001), 796(Anticancer Agents), 231-244

CODEN: ACSMC8; ISSN: 0097-6156

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB The EGF receptor tyrosine kinase (EGFR) is an attractive target for the development of agents directed against tumors which either overexpress the EGFR or which have a mutated or amplified gene encoding the EGFR. Several ATP-competitive inhibitors of this kinase have shown promising in vitro and in vivo efficacy and are currently in different stages of clin. development. One of them is PKI166, a pyrrolo[2,3-d]pyrimidine, which has been selected from a large series of pyrrolo[2,3-d]pyrimidines and structurally related pyrazolo[3,4-d]pyrimidines. The discovery and preclin. data of PKI166 are summarized.

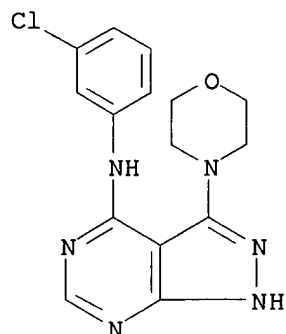
IT 205451-81-6 410524-77-5

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(pyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine derivs. as selective inhibitors of the EGF receptor tyrosine kinase)

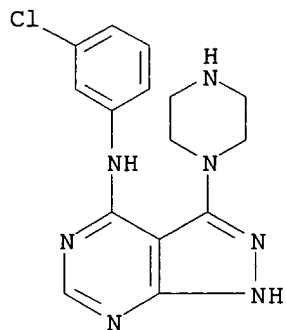
RN 205451-81-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(3-chlorophenyl)-3-(4-morpholinyl)-(9CI) (CA INDEX NAME)



RN 410524-77-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(3-chlorophenyl)-3-(1-piperazinyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:219810 CAPLUS

DOCUMENT NUMBER: 128:270610

TITLE: Preparation of pyrazolo[3,4-d]-3,4-diamines as  
epidermal growth factor receptor 2 antagonists

INVENTOR(S): Bold, Guido; Frei, Jorg; Lang, Marc; Traxler, Peter

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Bold, Guido; Frei, Jorg; Lang,  
Marc; Traxler, Peter

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

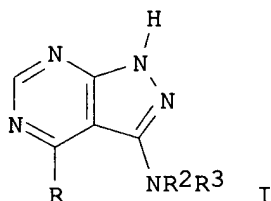
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

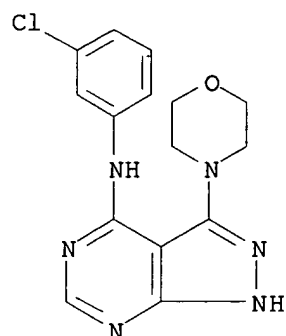
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814450	A1	19980409	WO 1997-EP5369	19970930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,				

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,  
 US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG  
 AU 9748642 A1 19980424 AU 1997-48642 19970930  
 AU 720135 B2 20000525  
 EP 929553 A1 19990721 EP 1997-911163 19970930  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 JP 2001501216 T2 20010130 JP 1998-516231 19970930  
 US 6251911 B1 20010626 US 1999-269823 19990401  
 PRIORITY APPLN. INFO.: CH 1996-2399 A 19961002  
 WO 1997-EP5369 W 19970930  
 OTHER SOURCE(S): MARPAT 128:270610  
 GI

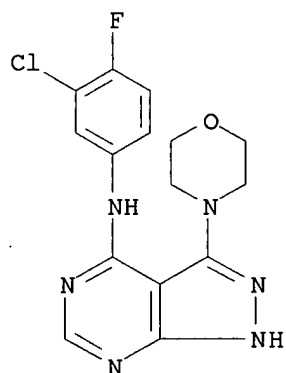


- AB Title compds. [I; R = NHZR1; R1 = (un)substituted Ph; R2 = H and R3 = COR4, (un)substituted alkyl, C6H4CH2R5, etc.; NR2R3 = heterocyclyl; R4 = alkyl(amino), NHCH2Ph, pyridylmethylamino, Ph, heterocyclyl, etc.; R5 = carboxyalkanoylamino, NHCO2CH2Ph, NHCONH2, etc.; Z = bond, CH2, alkylidene] were prepd. Thus, (MeS)2C:C(CN)2 was aminated by PhCH2NH2 and the product cyclocondensed with H2NNH2 to give 5-amino-3-benzylamino-1H-pyrazole-4-carbonitrile which was cyclocondensed with 3-ClC6H4NH2 to give I (R = NHC6H4Cl-3, R2 = H) (II; R3 = CH2Ph). The latter was converted in 2 steps to II (R3 = COCMe3). Data for biol. activity of I were given.
- IT **205451-81-6P 205451-82-7P 205451-83-8P**  
**205451-84-9P 205451-85-0P 205451-86-1P**  
**205451-87-2P 205451-88-3P 205451-89-4P**  
**205451-90-7P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of pyrazolo[3,4-d]-3,4-diamines as epidermal growth factor receptor 2 antagonists)
- RN 205451-81-6 CAPLUS
- CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(3-chlorophenyl)-3-(4-morpholinyl)-(9CI) (CA INDEX NAME)



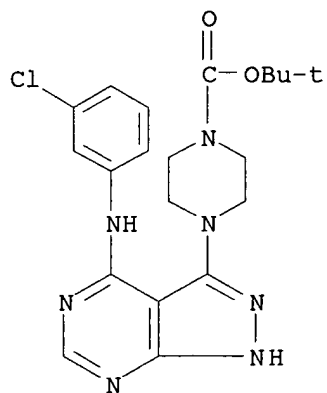
RN 205451-82-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 205451-83-8 CAPLUS

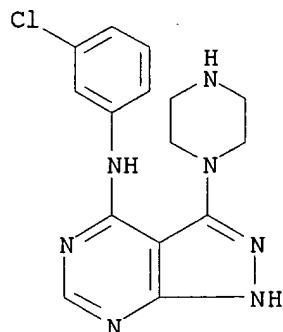
CN 1-Piperazinecarboxylic acid, 4-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 205451-84-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(3-chlorophenyl)-3-(1-piperazinyl)-

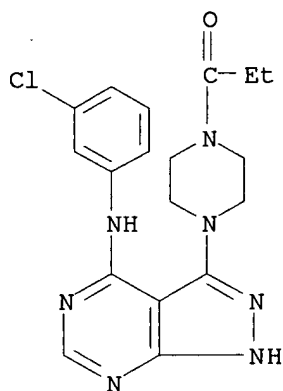
, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 205451-85-0 CAPLUS

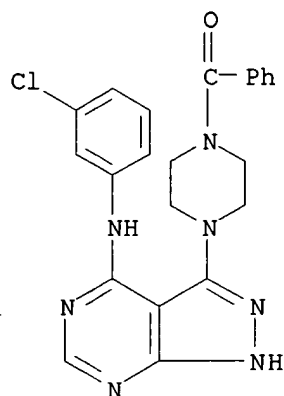
CN Piperazine, 1-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-4-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 205451-86-1 CAPLUS

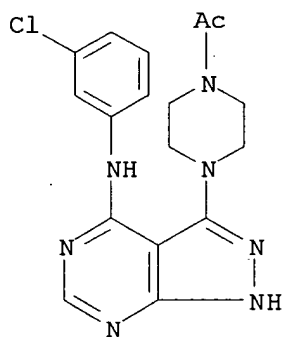
CN Piperazine, 1-benzoyl-4-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)





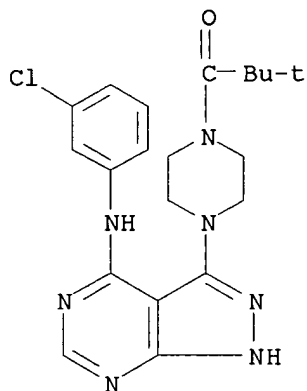
RN 205451-87-2 CAPLUS

CN Piperazine, 1-acetyl-4-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



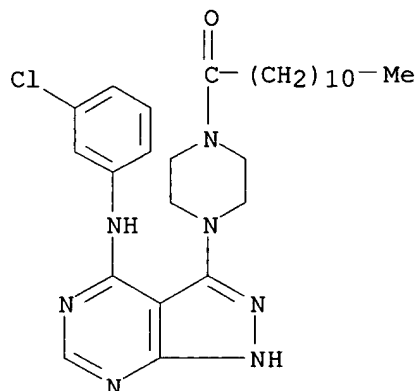
RN 205451-88-3 CAPLUS

CN Piperazine, 1-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-4-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)



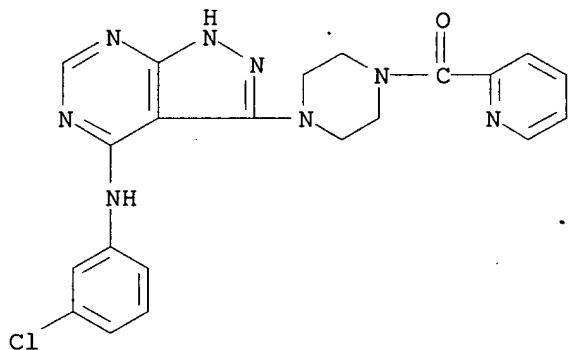
RN 205451-89-4 CAPLUS

CN Piperazine, 1-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-4-(1-oxododecyl)- (9CI) (CA INDEX NAME)



RN 205451-90-7 CAPLUS

CN Piperazine, 1-[4-[(3-chlorophenyl)amino]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-4-(2-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:582444 CAPLUS

DOCUMENT NUMBER: 123:256664

TITLE: Synthesis of functionalized pyrazoles and pyrazolo[3,4-d]pyrimidines as potential leishmanicides

AUTHOR(S): Ram, Vishnu J.; Haque, Navedul

CORPORATE SOURCE: Med. Chem. Div., Central Drug Res. Inst., Lucknow, 226 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1995), 34B(6), 521-4

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Various 2-cyano-3-methylthio-3-piperazinylacrylonitriles/acrylamides were prepd. by the reaction of ketene dithioacetals with substituted piperazines. Reaction of said 2-cyano-3-methylthio-3-piperazinylacrylonitriles/acrylamides with hydrazine hydrate gave the resp. pyrazoles which on boiling in formamide gave pyrazolo[3,4-d]pyrimidines. Reaction of 1-(4-carbethoxy-3-methylthio-1H-pyrazol-5-yl)-3-phenylthiourea with hydrazine gave 5-amino-2-methylthio-6-phenylamino-1H-pyrazolo[3,4-d]pyrimidin-4-one. Some of the compds. have been screened for their in vitro leishmanicidal activity.

IT 168849-18-1P 168849-19-2P 168849-20-5P

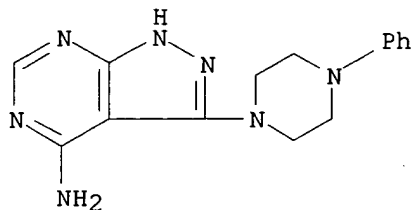
168849-21-6P 168849-22-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of pyrazoles and pyrazolo[3,4-d]pyrimidines for treatment of Leishmaniasis)

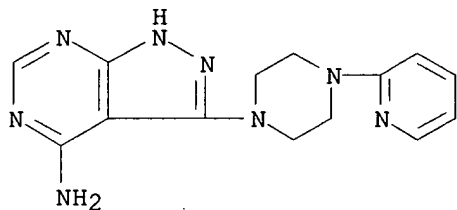
RN 168849-18-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-(4-phenyl-1-piperazinyl)- (9CI)  
(CA INDEX NAME)



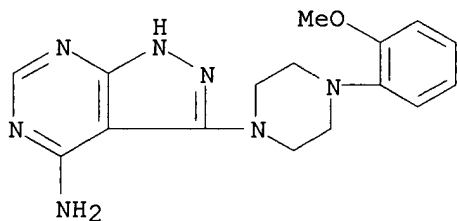
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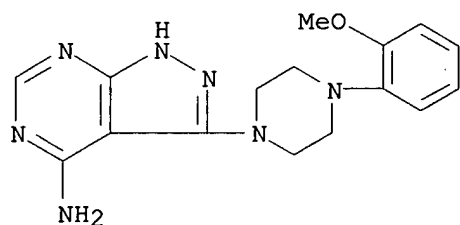
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



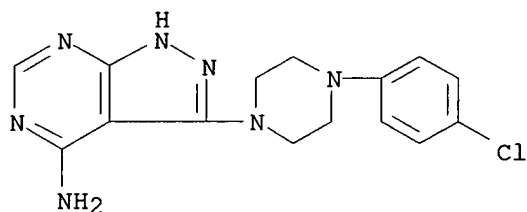
RN 168849-20-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-[4-(2-methoxyphenyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

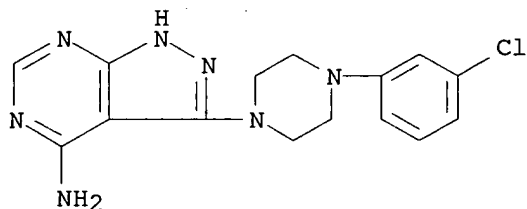




RN 168849-21-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-[4-(4-chlorophenyl)-1-piperazinyl]-  
(9CI) (CA INDEX NAME)

RN 168849-22-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-[4-(3-chlorophenyl)-1-piperazinyl]-  
(9CI) (CA INDEX NAME)

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

14.03

163.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-1.95

-1.95

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